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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 20	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 21	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 22	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 23	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme

NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:50:47 ON 12 OCT 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:51:01 ON 12 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 11 OCT 2006 HIGHEST RN 910211-10-8
DICTIONARY FILE UPDATES: 11 OCT 2006 HIGHEST RN 910211-10-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

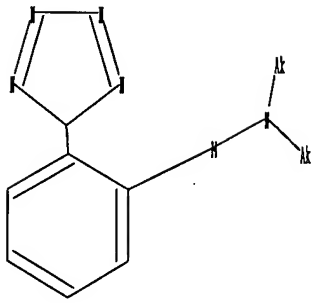
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

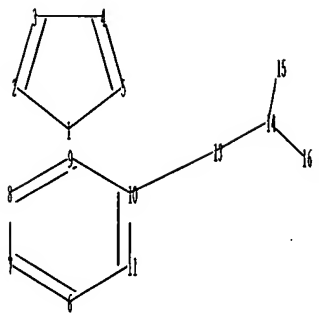
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10567492.str



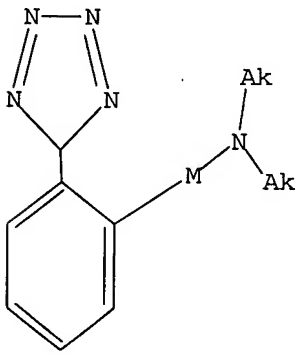


chain nodes :
13 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-9 10-13 13-14 14-15 14-16
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 14-15 14-16
exact bonds :
1-9 10-13 13-14
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 10:51:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1835 TO ITERATE

100.0% PROCESSED     1835 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        34131 TO    39269
PROJECTED ANSWERS:               0 TO        0

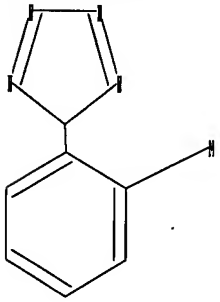
L2             0 SEA SSS SAM L1

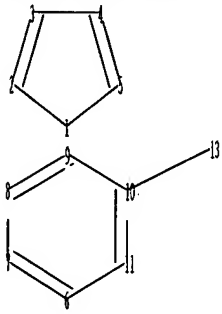
=> s l1 sss full
FULL SEARCH INITIATED 10:51:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    35955 TO ITERATE

100.0% PROCESSED    35955 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

L3             0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10567492a.str
```



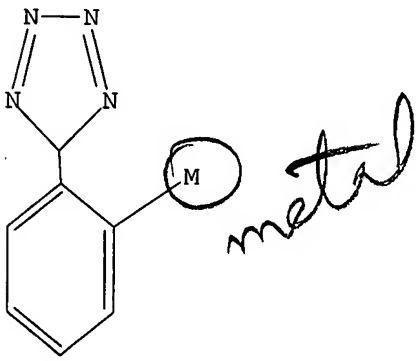


```
chain nodes :
13
ring nodes :
1  2  3  4  5  6  7  8  9  10  11
chain bonds :
1-9  10-13
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-11  7-8  8-9  9-10  10-11
exact/norm bonds :
1-2  1-5  2-3  3-4  4-5
exact bonds :
1-9  10-13
normalized bonds :
6-7  6-11  7-8  8-9  9-10  10-11
isolated ring systems :
containing 1 : 6 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  13:CLASS
```

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 10:52:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1835 TO ITERATE

100.0% PROCESSED 1835 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 34131 TO 39269
PROJECTED ANSWERS: 0 TO 0

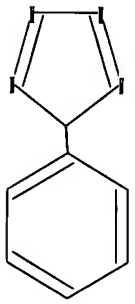
L5 0 SEA SSS SAM L4

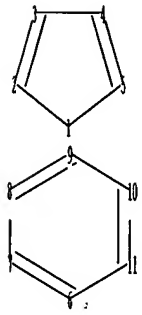
=> s l4 sss full
FULL SEARCH INITIATED 10:52:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 35955 TO ITERATE

100.0% PROCESSED 35955 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L4

=>
Uploading C:\Program Files\Stnexp\Queries\10567492b.str



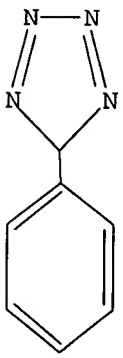


ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-9
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5
exact bonds :
1-9
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l7
SAMPLE SEARCH INITIATED 10:53:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2741 TO ITERATE

 73.0% PROCESSED     2000 ITERATIONS                                 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        51680 TO    57960
PROJECTED ANSWERS:           15766 TO    19318

L8            50 SEA SSS SAM L7

=> s l7 sss full
FULL SEARCH INITIATED 10:53:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    53915 TO ITERATE

100.0% PROCESSED    53915 ITERATIONS                          16780 ANSWERS
SEARCH TIME: 00.00.01

L9         16780 SEA SSS FUL L7

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             501.70     501.91

FILE 'HCAPLUS' ENTERED AT 10:53:57 ON 12 OCT 2006
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FILE COVERS 1907 - 12 Oct 2006  VOL 145 ISS 16
FILE LAST UPDATED: 11 Oct 2006  (20061011/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 10:50:47 ON 12 OCT 2006)

     FILE 'REGISTRY' ENTERED AT 10:51:01 ON 12 OCT 2006
```

```
L1              STRUCTURE UPLOADED
L2            0 S L1
L3            0 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L5            0 S L4
L6            1 S L4 SSS FULL
L7              STRUCTURE UPLOADED
L8           50 S L7
L9        16780 S L7 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 10:53:57 ON 12 OCT 2006

=> s l3
L10           0 L3

=> s l6
L11           1 L6

=> s l9
L12        8078 L9

=> s l12 and Mg
       1402321 MG
          1446 MGS
       1403285 MG
                 (MG OR MGS)
L13        2714 L12 AND MG

=> s l13 and p/dt
       5452106 P/DT
L14         407 L13 AND P/DT

=> s l14 and us/pc
       1597834 US/PC
L15         246 L14 AND US/PC

=> FIL REGISTRY
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                           22.77      524.68

FILE 'REGISTRY' ENTERED AT 10:59:26 ON 12 OCT 2006
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STRUCTURE FILE UPDATES:   11 OCT 2006  HIGHEST RN 910211-10-8
DICTIONARY FILE UPDATES:  11 OCT 2006  HIGHEST RN 910211-10-8

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.
```

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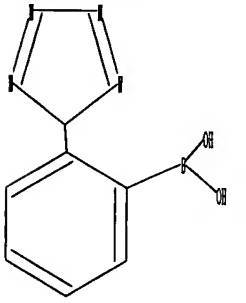
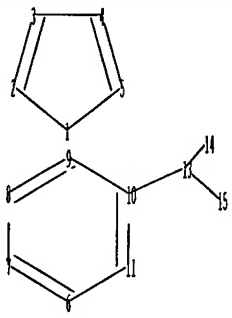
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10567492c.str



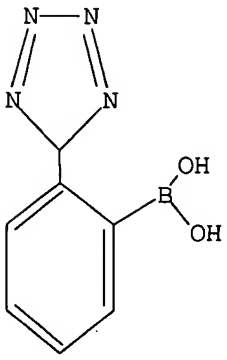


chain nodes :
13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-9 10-13 13-14 13-15
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5
exact bonds :
1-9 10-13 13-14 13-15
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:CLASS 14:CLASS 15:CLASS

L16 STRUCTURE UPLOADED

=> d l16
L16 HAS NO ANSWERS
L16 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l16
SAMPLE SEARCH INITIATED 10:59:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        4 TO ITERATE

100.0% PROCESSED         4 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            4 TO      200
PROJECTED ANSWERS:               1 TO       80

L17             1 SEA SSS SAM L16

=> s l16 sss full
FULL SEARCH INITIATED 10:59:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       80 TO ITERATE

100.0% PROCESSED        80 ITERATIONS                              4 ANSWERS
SEARCH TIME: 00.00.01

L18             4 SEA SSS FUL L16

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             166.94     691.62

FILE 'HCAPLUS' ENTERED AT 11:00:01 ON 12 OCT 2006
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FILE COVERS 1907 - 12 Oct 2006 VOL 145 ISS 16
FILE LAST UPDATED: 11 Oct 2006 (20061011/ED)

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substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:50:47 ON 12 OCT 2006)

FILE 'REGISTRY' ENTERED AT 10:51:01 ON 12 OCT 2006
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 1 S L4 SSS FULL
L7 STRUCTURE UPLOADED
L8 50 S L7
L9 16780 S L7 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:53:57 ON 12 OCT 2006
L10 0 S L3
L11 1 S L6
L12 8078 S L9
L13 2714 S L12 AND MG
L14 407 S L13 AND P/DT
L15 246 S L14 AND US/PC

FILE 'REGISTRY' ENTERED AT 10:59:26 ON 12 OCT 2006
L16 STRUCTURE UPLOADED
L17 1 S L16
L18 4 S L16 SSS FULL

FILE 'HCAPLUS' ENTERED AT 11:00:01 ON 12 OCT 2006

=> s l18
L19 19 L18

=> s l12 and l19
L20 19 L12 AND L19

=> s l20 and process
2320776 PROCESS
1574697 PROCESSES
3463259 PROCESS
(PROCESS OR PROCESSES)
L21 2 L20 AND PROCESS

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:48727 HCAPLUS

DOCUMENT NUMBER: 130:125212
TITLE: Ortho-metalation for the synthesis of 2-substituted-1-(tetrazol-5-yl)benzenes useful as angiotensin II antagonists
INVENTOR(S): Villa, Marco; Allegrini, Pietro; Arrighi, Katiuscia; Paiocchi, Maurizio
PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

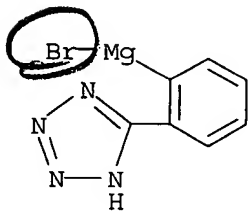
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901459	A1	19990114	WO 1998-EP3969	19980629
W: CA, CZ, FI, HU, IL, JP, SI, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2294609	AA	19990114	CA 1998-2294609	19980629
EP 994881	A1	20000426	EP 1998-936398	19980629
EP 994881	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
JP 2002510314	T2	20020402	JP 1999-506308	19980629
AT 224395	E	20021015	AT 1998-936398	19980629
ES 2182349	T3	20030301	ES 1998-936398	19980629
IL 133249	A1	20031210	IL 1998-133249	19980629
CZ 293277	B6	20040317	CZ 1999-4774	19980629
US 6271375	B1	20010807	US 2000-445470	20000427
PRIORITY APPLN. INFO.:			IT 1997-MI1544 A	19970630
			WO 1998-EP3969 W	19980629

OTHER SOURCE(S): CASREACT 130:125212; MARPAT 130:125212

AB A process of direct metalation of phenyltetrazoles useful for preparing R-5-(2-MgXC6H4)tetrazoles (R = H, protecting group or salifying group; X = Cl, Br, I), intermediates for the synthesis of angiotensin II antagonists (no therapeutic data given), is described. R-5-phenyltetrazole is treated with a Grignard compound R1MgX (R1 = straight or branched C1-6 alkyl, benzyl) in the presence of a catalytic amount of a secondary amine R2NHR3 (R2, R3 = same or different branched or cyclic C3-6 alkyl, trialkylsilyl (1-3 C atoms in alkyl) or R2 and R3 together with NH form an optionally substituted cyclic amine). For example, 2,2,6,6-tetramethylpiperidine (9.43 mmol) was added to a 23% mixture of MeMgCl in THF, warmed at reflux and under stirring; after 10 min, tert-butyl-5-phenyltetrazole (188 mmol) was added the mixture was refluxed for 45 h after which NMR anal. indicated formation of 88% orthometalated product. The product mixture was cooled to 40° and THF (48 mL), toluene (157 mL) and anhydrous ZnCl2 (375 mmol) were added; after 2 h of stirring at 60°, 8-[(4-bromophenyl)methyl]-5,8-dihydro-2,4-dimethylpyrido[2,3-d]pyrimidin-7(6H)-one (130 mmol), Pd(OAc)2 (1.95 mmol) and PPh3 (5.8 mmol) were added and the mixture was kept at 60° for 4 h. The suspension was cooled at 25°; water (90 mL) and HOAc (15 mL) were added and the separated organic phase yielded 91% tasosartan on evaporation

IT 219830-47-4P, 2-(tert-Butyltetrazol-5-yl)phenylmagnesium bromide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; ortho-metalation for synthesis of 2-substituted-1-(tetrazol-5-yl)benzenes useful as angiotensin II antagonists)

RN 219830-47-4 HCAPLUS
CN Magnesium, bromo[2-[(1,1-dimethylethyl)-1H(or 2H)-tetrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



D1—Bu-t

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l21 ibib abs hitstr tot

L21 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1171475 HCAPLUS
DOCUMENT NUMBER: 143:406147
TITLE: Process for the preparation of valsartan
INVENTOR(S): Bessa Bellmunt, Jordi; Huguet Clotet, Joan; Perez Andres, Juan Antonio; Dalmases Barjoan, Pere
PATENT ASSIGNEE(S): Vita Cientifica, S.L., Spain
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102987	A1	20051103	WO 2005-IB1100	20050418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2251292	A1	20060416	ES 2004-949	20040420
PRIORITY APPLN. INFO.:			ES 2004-949 A	20040420

OTHER SOURCE(S): CASREACT 143:406147; MARPAT 143:406147

AB The invention relates to a process for the preparation of valsartan, a medicament useful for the treatment of arterial hypertension or heart failure. Intermediates p-XC6H4CH2N(COBu)CH(Pr-i)CO2H (X = halo or a sulfonyloxy group) can be prepared by N-acylation without protection of the

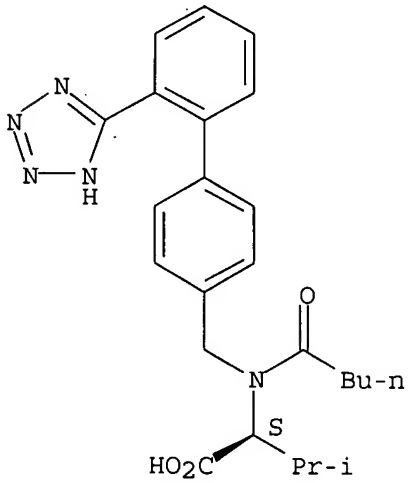
carboxylic acid. Thus, treatment of N-(4-iodobenzyl)-N-valeroyl-L-valine (preparation given) with 2-(1H-tetrazol-5-yl)phenylboronic acid in aqueous methanol in the presence of Pd(PPh3)4 at reflux for 2 h afforded 88% valsartan.

IT 137862-53-4P, Valsartan
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of valsartan and precursors)

RN 137862-53-4 HCAPLUS

CN L-Valine, N-(1-oxopentyl)-N-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

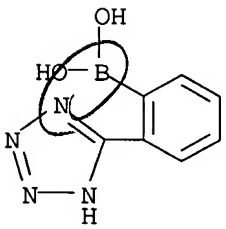
Absolute stereochemistry.



IT 155884-01-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of valsartan and precursors)

RN 155884-01-8 HCAPLUS

CN Boronic acid, [2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:446456 HCAPLUS
DOCUMENT NUMBER: 125:114636
TITLE: Process for producing biphenylmethylthiadiazoline derivatives as cardiovascular agents

INVENTOR(S): Inoue, Satoshi; Sakae, Nobuya; Yokomoto, Masaharu; Nishimura, Kouji; Hirata, Terukage
PATENT ASSIGNEE(S): Wakunaga Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9609301	A1	19960328	WO 1995-JP1866	19950919
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 782996	A1	19970709	EP 1995-931442	19950919
EP 782996	B1	19990217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 176784	E	19990315	AT 1995-931442	19950919
ES 2129850	T3	19990616	ES 1995-931442	19950919
US 5965738	A	19991012	US 1997-793806	19970320

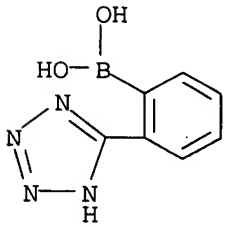
PRIORITY APPLN. INFO.: JP 1994-224439 A 19940920
JP 1994-318131 A 19941221
WO 1995-JP1866 W 19950919
OTHER SOURCE(S): CASREACT 125:114636; MARPAT 125:114636
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

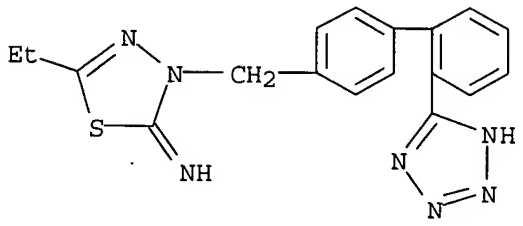
AB The title compds. I [R1 = alkyl], useful as cardiovascular agents (no data), are prepared, e. g., by reaction of iminothiadiazoline derivs. with anhydride II. Thus, I [R1 = ethyl] was prepared from iminothiadiazoline derivative III.HCl and II.

IT 155884-01-8P 167005-94-9P 178859-93-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for producing biphenylmethylthiadiazoline derivs. as cardiovascular agents)

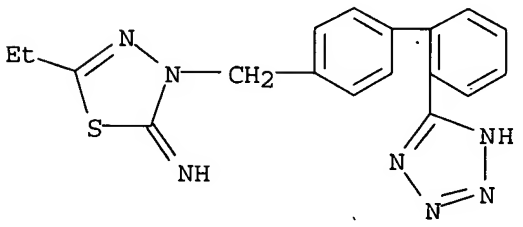
RN 155884-01-8 HCAPLUS
CN Boronic acid, [2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 167005-94-9 HCAPLUS
CN 1,3,4-Thiadiazol-2(3H)-imine, 5-ethyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

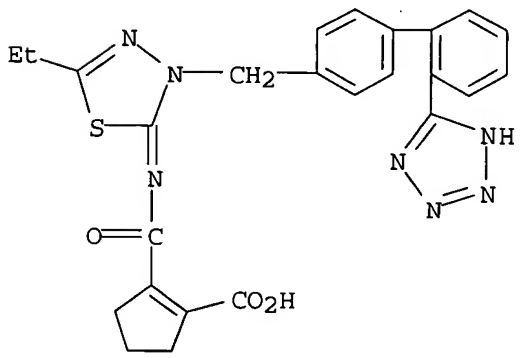


RN 178859-93-3 HCAPLUS
CN 1,3,4-Thiadiazol-2(3H)-imine, 5-ethyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

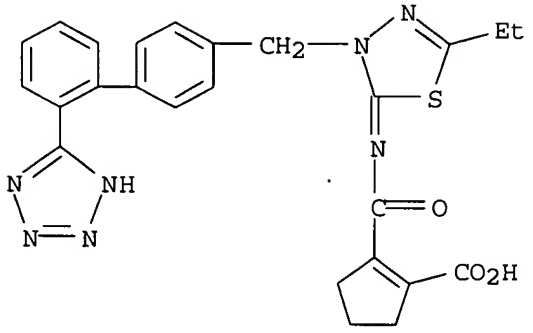


●x HCl

IT 167006-13-5P 169328-24-9P 169328-25-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for producing biphenylmethylthiadiazoline derivs. as cardiovascular agents)
RN 167006-13-5 HCAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[5-ethyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,3,4-thiadiazol-2(3H)-ylidene]amino]carbonyl]- (9CI) (CA INDEX NAME)

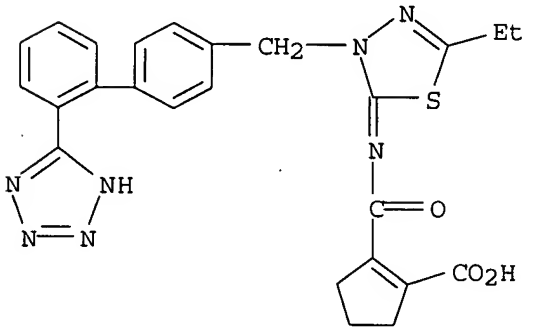


RN 169328-24-9 HCAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[5-ethyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,3,4-thiadiazol-2(3H)-ylidene]amino]carbonyl]-, monopotassium salt (9CI) (CA INDEX NAME)



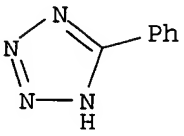
● K

RN 169328-25-0 HCAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[5-ethyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,3,4-thiadiazol-2(3H)-ylidene]amino]carbonyl]-, dipotassium salt (9CI) (CA INDEX NAME)



●2 K

IT 18039-42-4, 5-Phenyl-1H-tetrazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for producing biphenylmethylthiadiazoline derivs. as cardiovascular agents)
RN 18039-42-4 HCAPLUS
CN 1H-Tetrazole, 5-phenyl- (8CI, 9CI) (CA INDEX NAME)



=> d l20 ibib abs tot

L20 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:884438 HCAPLUS
DOCUMENT NUMBER: 145:293070
TITLE: Method for obtaining a pharmaceutically active compound (irbesartan) and its synthesis intermediate
INVENTOR(S): Huguet Clotet, Joan; Dalmases Barjoan, Pere
PATENT ASSIGNEE(S): Inke, S.A., Spain
SOURCE: PCT Int. Appl., 38pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006089927	A1	20060831	WO 2006-EP60208	20060223

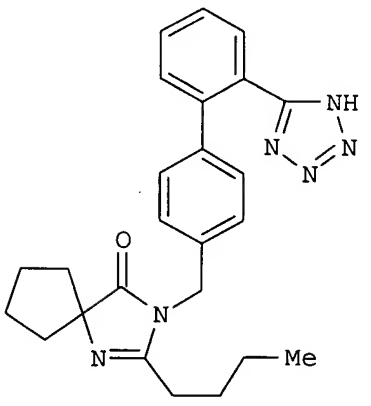
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

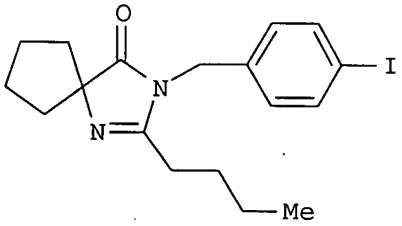
PRIORITY APPLN. INFO.:

ES 2005-485	A	20050228
US 2005-685912P	P	20050531
ES 2005-3166	A	20051223

OTHER SOURCE(S): CASREACT 145:293070
GI



I



II

AB A method for preparing irbesartan (I) is provided by coupling [2-(1H-tetrazol-5-yl)phenyl]boronic acid with diazaspiro[4.4]non-1-en-4-one II, neutralizing the alkaline salt formed in aqueous medium and recrystg. the crude product obtained. The utilization of said method obviates protection and deprotection of the tetrazole ring and is therefore of considerable interest for obtaining Irbesartan on a large industrial scale. The invention also refers to the synthesis intermediate of II.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1171475 HCAPLUS
DOCUMENT NUMBER: 143:406147
TITLE: Process for the preparation of valsartan
INVENTOR(S): Bessa Bellmunt, Jordi; Huguet Clotet, Joan; Perez Andres, Juan Antonio; Dalmases Barjoan, Pere
PATENT ASSIGNEE(S): Vita Cientifica, S.L., Spain
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102987	A1	20051103	WO 2005-IB1100	20050418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2251292	A1	20060416	ES 2004-949	20040420
PRIORITY APPLN. INFO.:			ES 2004-949 A	20040420

OTHER SOURCE(S): CASREACT 143:406147; MARPAT 143:406147
AB The invention relates to a process for the preparation of valsartan, a medicament useful for the treatment of arterial hypertension or heart failure. Intermediates p-XC6H4CH2N(COBu)CH(Pr-i)CO2H (X = halo or a sulfonyloxy group) can be prepared by N-acylation without protection of the carboxylic acid. Thus, treatment of N-(4-iodobenzyl)-N-valeroyl-L-valine (preparation given) with 2-(1H-tetrazol-5-yl)phenylboronic acid in aqueous methanol in the presence of Pd(PPh3)4 at reflux for 2 h afforded 88% valsartan.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:772797 HCAPLUS
DOCUMENT NUMBER: 141:261062
TITLE: Preparation of (succinoylamino)azepinones as inhibitors of Aβ protein

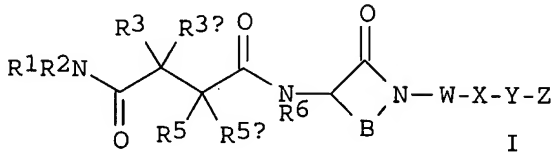
INVENTOR(S): Olson, Richard E.; Maduskuie, Thomas P.; Thompson, Lorin Andrew
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 370,089.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6794381	B1	20040921	US 2000-506360	20000217
HR 990246	A1	20000630	HR 1999-246	19990806
TR 200100377	T2	20010621	TR 2001-200100377	19990807
NZ 525513	A	20040924	NZ 1999-525513	19990807
ES 2251838	T3	20060501	ES 1999-939010	19990807
US 2003134841	A1	20030717	US 2002-285776	20021101
US 6962913	B2	20051108		
US 2005245501	A1	20051103	US 2005-175644	20050706
US 7101870	B2	20060905		

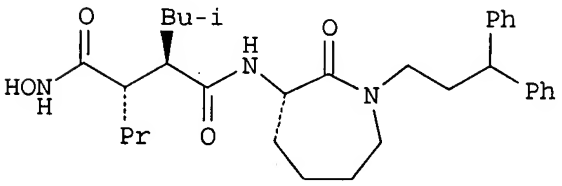
PRIORITY APPLN. INFO.:

US 1998-95698P	P	19980807
US 1998-113558P	P	19981224
US 1999-120227P	P	19990215
US 1999-370089	A2	19990806
US 2000-506360	A3	20000217
US 2002-285776	A3	20021101

OTHER SOURCE(S): MARPAT 141:261062
GI



I



II

AB The invention relates to aminoazepinones I [R1 = H, (un)substituted alkyl, alkenyl, carbocyclyl, aryl or heterocyclyl; R2 = H or alkyl; R3 = (un)substituted (hetero)alkyl; R3a = H, OH, alkyl, alkoxy, alkenyloxy; R5 = H, OH, (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbocyclyl, aryl or heterocyclyl; R5a = H, OH, alkyl, alkoxy, alkenyl, alkenyloxy; R6 = H, (un)substituted alkyl, carbocyclyl or aryl; W = bond or (un)substituted alkylene; X = bond, (un)substituted aryl, carbocyclyl or heterocyclyl; Y = bond or (un)substituted (hetero)alkylene; Z = (un)substituted alkyl, aryl, carbocyclyl or heterocyclyl; B = atoms to

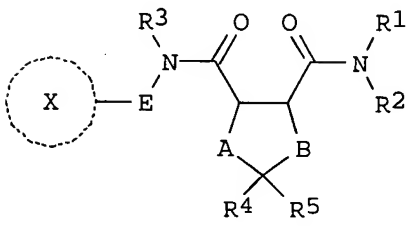
form a saturated or unsatd. seven-membered ring which may be substituted] which inhibit the processing of Aβ-peptide, thereby acting to prevent the formation of neurol. deposits of amyloid protein. More particularly, the invention relates to the treatment of neurol. disorders related to β-amyloid production such as Alzheimer's disease and Down's Syndrome. Thus, aminoazepinone II was prepared in several steps starting with L-α-amino-ε-caprolactam. I inhibited Aβ production with IC50 < 100 μM.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:971837 HCAPLUS
DOCUMENT NUMBER: 140:27621
TITLE: Preparation of 1,2-diamido cycloalkyl sodium channel blockers
INVENTOR(S): Fisher, Michael H.; Li, Chunshi; Liang, Jun; Meinke, Peter T.; Ok, Dong; Parsons, William H.; Shao, Pengcheng Patrick; Tyagarajan, Sriram
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101381	A2	20031211	WO 2003-US16335	20030523
WO 2003101381	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237224	A1	20031219	AU 2003-237224	20030523
PRIORITY APPLN. INFO.:			US 2002-383832P P	20020529
			WO 2003-US16335 W	20030523

OTHER SOURCE(S): MARPAT 140:27621
GI



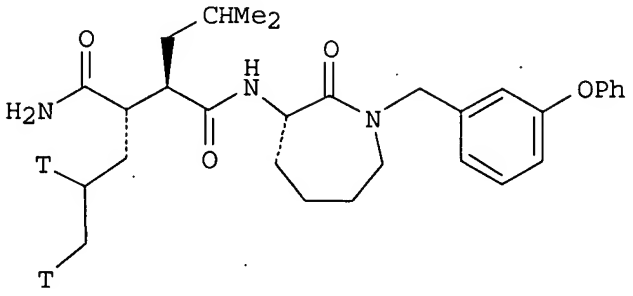
I

AB The patent relates to the preparation of 1,2-diamido cycloalkyl compds. I (X = Ph, pyridyl, thienyl, etc.; R1 = H, C1-6 alkyl; R2 = C0-6 alkyl-Ph, C1-6 alkylthienyl, C1-6 alkylthiazolyl, etc.; R1R2 = 5 or 6 membered ring; E = C1-6 alkyl; R3 = C0-6 alkyl; A = CnH2n; B = CmH2m; n, m = 0-3; n + m = 1-3; R4, R5 = C0-6 alkyl, OH, halo, etc.). The 1,2-diamido cycloalkyl compds. are useful as: sodium channel blockers; pharmaceutical compns. that include an effective amount of the aryl-link-aryl thiazolidindione and aryl-link-aryl oxazolodinedione compds. and a pharmaceutically acceptable carrier; and a method of treatment of acute pain, chronic pain, visceral pain, inflammatory pain, or neuropathic pain, as well as irritable bowel syndrome, Crohn's disease, epilepsy, partial and generalized tonic seizures, multiple sclerosis, bipolar disease, and tachyarrhythmias by the administration of an effective amount of aryl-link-aryl thiazolidine-dione and aryl-link-aryl oxazolodine-dione compds., either alone, or in combination with one or more therapeutically active compds. Thus, trans-1-(RS)-[4-(2-aminosulfonylphenyl)]benzylaminocarbonyl-2-(SR)-benzylaminocarbonylcyclopentane was prepared by reacting a mixture comprising 1-(RS)-[4-(2-aminosulfonylphenyl)]benzylaminocarbonyl-2-(SR)-carboxycyclopentane, N-hydroxybenzotriazole, diisopropylethylamine, benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate, and benzylamine wherein 1-(RS)-[4-(2-aminosulfonylphenyl)]benzylaminocarbonyl-2-(SR)-carboxycyclopentane was prepared from the reaction of trans-DL-cyclopentane dicarboxylic acid and 4-(2-aminosulfonylphenyl)benzylamine.

L20 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:850987 HCAPLUS
DOCUMENT NUMBER: 136:2495
TITLE: Use of small molecule radioligands to discover inhibitors of β-amyloid peptide production and for diagnostic imaging
INVENTOR(S): Zaczek, Robert; Olson, Richard E.; Seiffert, Dietmar A.; Thompson, Lorin A.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087354	A2	20011122	WO 2001-US16009	20010517
WO 2001087354	A3	20020822		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002159947	A1	20021031	US 2001-859261	20010517
US 6878363	B2	20050412		
US 2005129612	A1	20050616	US 2004-18331	20041221
PRIORITY APPLN. INFO.:			US 2000-204685P	P 20000517
			US 2001-859261	A1 20010517

OTHER SOURCE(S): MARPAT 136:2495
GI



I

AB This invention relates to a method of using radiolabeled and/or radiopharmaceutical small mol. inhibitors of β-amyloid peptide production, such as the caprolactam I, for the diagnosis of neurol. and other disorders involving APP processing and beta-amyloid production Furthermore, radiolabeled small mol. inhibitors identified by the methods of the present invention would be useful in the diagnosis of neurol. disorders, such as Alzheimer's disease, which involve elevated levels of Aβ peptides.

L20 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:12273 HCAPLUS
DOCUMENT NUMBER: 134:86271
TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
INVENTOR(S): Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

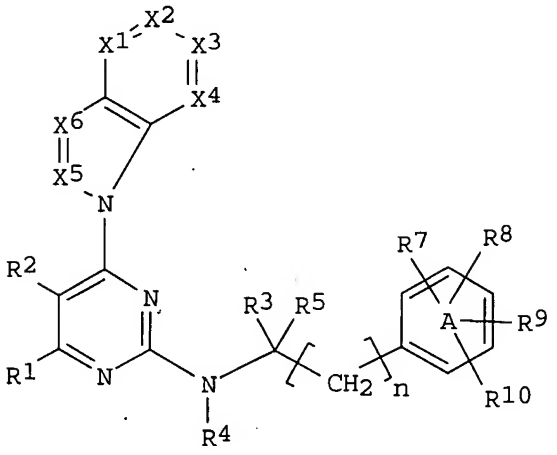
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000213	A1	20010104	WO 2000-US17443	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383546	AA	20010104	CA 2000-2383546	20000626
EP 1206265	A1	20020522	EP 2000-941701	20000626
EP 1206265	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL

US 6498165	B1	20021224	US 2000-604305		20000626
JP 2003523942	T2	20030812	JP 2001-505922		20000626
AT 253915	E	20031115	AT 2000-941701		20000626
PRIORITY APPLN. INFO.:			US 1999-141639P	P	19990630
			WO 2000-US17443	W	20000626

OTHER SOURCE(S): MARPAT 134:86271

GI



I

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example

prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:335658 HCAPLUS
DOCUMENT NUMBER: 133:779
TITLE: Preparation and use of radioligands to screen inhibitors of β-amyloid peptide production
INVENTOR(S): Zaczek, Robert C.; Olson, Richard E.; Seiffert, Dietmar A.; Thompson, Lorin Andrew
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000028331	A1	20000518	WO 1999-US26715	19991112
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, SG, SK, TR, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2346099	AA	20000518	CA 1999-2346099	19991112
EP 1129355	A1	20010905	EP 1999-958905	19991112
EP 1129355	B1	20050720		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI				
AT 300052	E	20050815	AT 1999-958905	19991112
EP 1589342	A2	20051026	EP 2005-75599	19991112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, CY				
NO 2001001891	A	20010702	NO 2001-1891	20010417

PRIORITY APPLN. INFO.:		
US 1998-108147P	P	19981112
US 1999-131284P	P	19990427
EP 1999-958905	A3	19991112
WO 1999-US26715	W	19991112

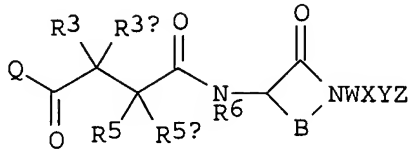
OTHER SOURCE(S): MARPAT 133:779
AB A method is provided for screening for inhibitors of β-amyloid production, and thereby identifying such inhibitors as therapeutics for neurol. and other disorders involving amyloid precursor protein (APP) processing and beta-amyloid production The invention also relates to identifying macromols. involved in APP processing and β-amyloid production Furthermore, inhibitors identified by the screening method of the invention are useful in the treatment of neurol. disorders, e.g. Alzheimer's disease, which involve elevated levels of Aβ peptides. Preparation of radioligands is described.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:117029 HCAPLUS
DOCUMENT NUMBER: 132:166134
TITLE: Preparation of succinoylaminoazepinones and related compounds as inhibitors of Aβ-peptide production.

INVENTOR(S): Olson, Richard E.; Maduskuie, Thomas P.; Thomas, Lorin Andrew
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 315 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000007995	A1	20000217	WO 1999-US17717		19990807
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
HR 990246	A1	20000630	HR 1999-246		19990806
CA 2338944	AA	20000217	CA 1999-2338944		19990807
AU 9953378	A1	20000228	AU 1999-53378		19990807
AU 756830	B2	20030123			
EP 1102752	A1	20010530	EP 1999-939010		19990807
EP 1102752	B1	20051019			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200100377	T2	20010621	TR 2001-200100377		19990807
BR 9912969	A	20010925	BR 1999-12969		19990807
NZ 509241	A	20030829	NZ 1999-509241		19990807
JP 2003526603	T2	20030909	JP 2000-563629		19990807
NZ 525513	A	20040924	NZ 1999-525513		19990807
AT 307117	E	20051115	AT 1999-939010		19990807
ES 2251838	T3	20060501	ES 1999-939010		19990807
PRIORITY APPLN. INFO.:			US 1998-95698P	P	19980807
			US 1998-113558P	P	19981224
			US 1999-120227P	P	19990215
			US 1999-370089	A	19990806
			US 1998-113588P	P	19981224
			WO 1999-US17717	W	19990807

OTHER SOURCE(S): MARPAT 132:166134
GI



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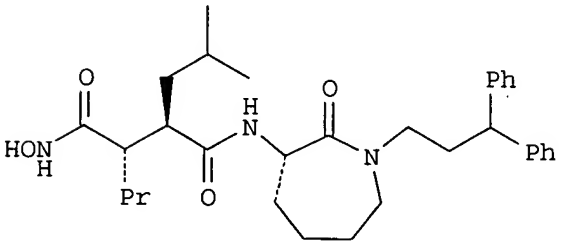

II

AB Title compds. [I; Q = OR1, NR1R2; R1 = H, (substituted) alkyl, alkenyl, carbocyclyl, aryl, heterocyclyl; R2 = H, NH2, OH, alkyl, alkoxy, PhO, PhCH2O, carbocyclyl, aryl, heterocyclyl; R3 = (CR7R7a)nR4, etc.; n = 0-3; R3a = H, OH, alkyl, alkoxy, alkenyloxy; R4 = H, OH, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, aryl, heterocyclyl; R5 = H, OR14, (substituted) alkyl, alkoxy, alkenyl, alkynyl, carbocyclyl, aryl, heterocyclyl; R14 = H, Ph, PhCH2, alkyl, alkoxyalkyl; R5a = H, OH, alkyl, alkoxy, alkenyl, alkenyloxy; R6 = H, (substituted) alkyl, carbocyclyl, aryl; R7, R7a = H, OH, Cl, F, Br, iodo, cyano, NO2, CF3, alkyl; W = (CR8R8a)p; p = 0-4; R8, R8a = H, F, alkyl, alkenyl, alkynyl, cycloalkyl; X = bond, (substituted) aryl, carbocyclyl, heterocyclyl; Y = bond, (CR9R9a)tV(CR9R9a)u; t, u = 0-3; R9, R9a = H, F, alkyl, cycloalkyl; V = bond, CO, O, S, SO, SO2, imino, etc.; Z = (substituted) alkyl, aryl, carbocyclyl, heterocyclyl; B = atoms to form an (unsatd.) (substituted) (heteroatom-containing) lactam ring], were prepared which inhibit the processing of amyloid precursor protein and, more specifically, inhibit the production of Aβ-peptide, thereby acting to prevent the formation of neurol. deposits of amyloid protein. Thus, title compound (II) was prepared in several steps starting with L-α-amino-ε-caprolactam. I inhibited Aβ production with IC50<100 μM.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:446456 HCAPLUS
DOCUMENT NUMBER: 125:114636
TITLE: Process for producing biphenylmethylthiadiazoline derivatives as cardiovascular agents
INVENTOR(S): Inoue, Satoshi; Sakae, Nobuya; Yokomoto, Masaharu; Nishimura, Kouji; Hirata, Terukage
PATENT ASSIGNEE(S): Wakunaga Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9609301	A1	19960328	WO 1995-JP1866		19950919
W: JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 782996	A1	19970709	EP 1995-931442		19950919
EP 782996	B1	19990217			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
AT 176784	E	19990315	AT 1995-931442		19950919
ES 2129850	T3	19990616	ES 1995-931442		19950919
US 5965738	A	19991012	US 1997-793806		19970320
PRIORITY APPLN. INFO.:			JP 1994-224439	A	19940920
			JP 1994-318131	A	19941221
			WO 1995-JP1866	W	19950919

OTHER SOURCE(S): CASREACT 125:114636; MARPAT 125:114636
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1 = alkyl], useful as cardiovascular agents (no data), are prepared, e. g., by reaction of iminothiadiazoline derivs. with anhydride II. Thus, I [R1 = ethyl] was prepared from iminothiadiazoline derivative III.HCl and II.

L20 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:994650 HCAPLUS
DOCUMENT NUMBER: 124:87020
TITLE: Preparation of (biphenylmethyl)pyridone and (pyridylmethyl)pyridone pharmaceuticals for the treatment of glaucoma
INVENTOR(S): Huebsch, Walter; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Kraemer, Thomas; Mueller, Ulrich; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4407488	A1	19950914	DE 1994-4407488	19940307
PRIORITY APPLN. INFO.:			DE 1994-4407488	19940307

OTHER SOURCE(S): MARPAT 124:87020
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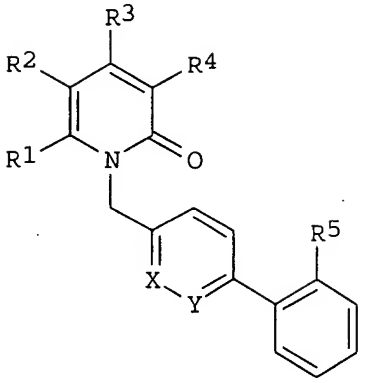

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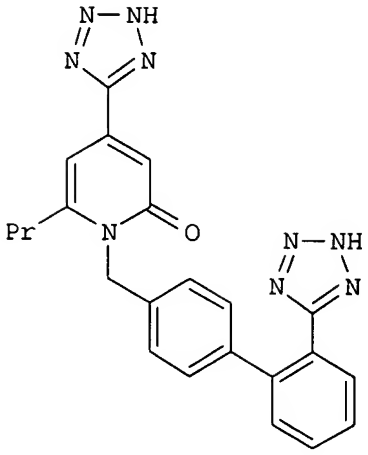


II

AB The title compds. [I; R1 = (un)substituted cycloalkyl, (un)substituted alkyl; R2 = H, halogen, alkyl; R3 = CN, OH, SH, tetrazolyl, carboxylate ester, (un)substituted carboxamide; R4 = H, halogen, CN; R5 = tetrazolyl optionally substituted with alkyl or CPh3; X, Y = N, (un)substituted CH; such that X ≠ Y] (e.g., II), useful for the treatment of glaucoma (no data) and diabetic retinopathy (no data), are prepared

L20 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:662347 HCAPLUS
DOCUMENT NUMBER: 123:83367
TITLE: Preparation of tetrazole derivatives as angiotensin II antagonists
INVENTOR(S): Watanabe, Toshihiro; Okazaki, Toshio; Inagaki, Osamu
PATENT ASSIGNEE(S): Yamanouchi Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06306077	A2	19941101	JP 1993-120740	19930423

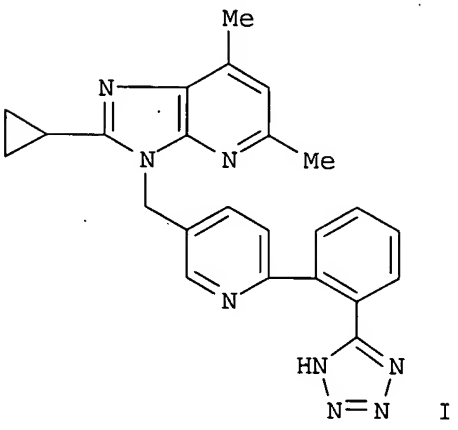
PRIORITY APPLN. INFO.: JP 1993-120740 19930423
OTHER SOURCE(S): MARPAT 123:83367
GI For diagram(s), see printed CA Issue.
AB The title compds. I [R1 = H, formyl, etc.; R2, R3 = H, alkyl; R4 = H, aralkyl; ring A1 = (halo-substituted) benzene ring, etc.; ring A2 = (halo-substituted) benzene, pyrrole; L = bond, etc.], useful as angiotensin II antagonists (no data), are prepared 2,7-Diethyl-5-[4-[4,5-dibromo-2-(tetrazol-5-yl)-1-pyrrolyl]benzyl]-5H-pyrazolo[1,5-b][1,2,4]triazole was prepared

L20 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:397296 HCAPLUS
DOCUMENT NUMBER: 122:160694
TITLE: Substituted pyridine and bipyridine derivatives as antiatherosclerotics and antihypertensives

INVENTOR(S): Fey, Peter; Kraemer, Thomas; Dressel, Juergen; Hanko, Rudolf; Huebsch, Walter; Mueller, Ulrich; Mueller-Gliemann, Matthias; Beuck, Martin; Bischoff, Hilmar; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4320432	A1	19941222	DE 1993-4320432	19930621
EP 630896	A1	19941228	EP 1994-108789	19940608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07048369	A2	19950221	JP 1994-155476	19940615
CA 2126166	AA	19941222	CA 1994-2126166	19940617
US 5594010	A	19970114	US 1994-262085	19940617

PRIORITY APPLN. INFO.: DE 1993-4320432 A 19930621
OTHER SOURCE(S): MARPAT 122:160694
GI



I

AB Substituted pyridine derivs. were claimed as antiatherosclerotics, antihypertensives or for treatment of arterial hypertonia. A prepared example compound was 2-cyclopropyl-5,7-dimethyl-3-[[2-[2-(1H-tetrazol-5-yl)phenyl]pyridin-5-yl]methy]-3H-imidazo[4,5-b]pyridine (I).

L20 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:315781 HCAPLUS
DOCUMENT NUMBER: 122:81628
TITLE: Preparation of substituted diphenyltetrazoles
INVENTOR(S): Kraemer, Thomas; Fey, Peter; Dressel, Juergen; Hanko, Rudolf; Huebsch, Walter; Mueller, Ulrich; Mueller-Gliemann, Matthias; Samaan, Samir
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4313747	A1	19941103	DE 1993-4313747	19930427

PRIORITY APPLN. INFO.: DE 1993-4313747 19930427
OTHER SOURCE(S): CASREACT 122:81628; MARPAT 122:81628
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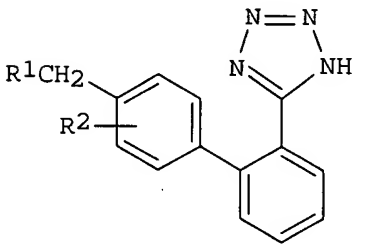

I

AB The preparation of title compds. I (R1 = H, alkoxy; R2 = H, halo, cyano, nitro, CF3, OH, OCF3, etc.), useful as antihypertensives, by the coupling reaction of halobenzyl compds. with 2-(tetrazol-5'-yl)phenylboronic acid, is described. Thus, Pd(PPh3)4-catalyzed coupling reaction of 4-bromotoluene with 2-(tetrazol-5'-yl)phenylboronic acid (preparation given) gave 49% title compound I (R1 = R2 = H).

L20 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:275032 HCAPLUS
DOCUMENT NUMBER: 122:81132
TITLE: Substituted mono- and bipyridylmethylpyridones as angiotensin II antagonists, and their preparation
INVENTOR(S): Fey, Peter; Huebsch, Walter; Dressel, Juergen; Hanko, Rudolf; Kraemer, Thomas; Mueller, Ulrich; Mueller-Gliemann, Matthias; Beuck, Martin; Bischoff, Hilmar; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 624583	A1	19941117	EP 1994-106834	19940502
EP 624583	B1	19970723		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4316077	A1	19941117	DE 1993-4316077	19930513
AU 9460561	A1	19941117	AU 1994-60561	19940419
AU 672679	B2	19961010		
AT 155782	E	19970815	AT 1994-106834	19940502

ES 2105408	T3	19971016	ES 1994-106834		19940502
US 5407948	A	19950418	US 1994-239197		19940506
HU 70485	A2	19951030	HU 1994-1417		19940506
CA 2123243	AA	19941114	CA 1994-2123243		19940510
FI 9402160	A	19941114	FI 1994-2160		19940510
JP 06329669	A2	19941129	JP 1994-120653		19940510
NO 9401770	A	19941114	NO 1994-1770		19940511
ZA 9403246	A	19950118	ZA 1994-3246		19940511
CN 1102648	A	19950517	CN 1994-105814		19940513
PRIORITY APPLN. INFO.:			DE 1993-4316077	A	19930513

OTHER SOURCE(S): MARPAT 122:81132

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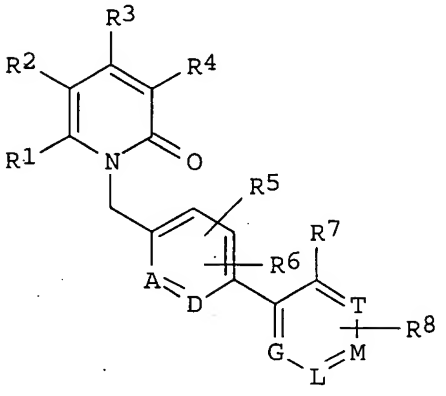

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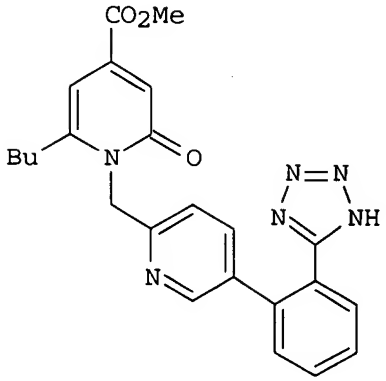

II

AB Title compds. I [A, D, G, L, M, T = CH or N (min. of 1 N, maximum of 1 N per ring); R1 = (un)substituted alkyl, cycloalkyl; R2, R3, R4 = H, OH, NO2, cyano, CHO, halo, (un)substituted alk(en/yn)yl, alkoxy, or alkylthio, acyl, alkoxycarbonyl, tetrazolyl, etc.; R5, R6, R8 = H, halo, cyano, NO2, CF3, OH, amido, alkyl, alkoxy, alkoxycarbonyl; R7 = various carbonyl- or sulfonyl-containing groups, or (un)substituted 5-tetrazolyl] were prepared as angiotensin II antagonists (no data), useful for treatment of a wide variety of conditions, especially arterial hypertension and atherosclerosis. For example, N-alkylation of 6-butyl-4-(methoxycarbonyl)-2-oxo-1,2-dihydropyridine by 2-(bromomethyl)-5-[[2-(triphenylmethyl)tetrazol-5-yl]phenyl]pyridine in dimethoxyethane containing Cs2CO3, and subsequent detritylation with concentrated HCl in MeOH, gave title compound II. Prepns. of 16 I and 8 precursors are described.

L20 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1995:275012 HCAPLUS
DOCUMENT NUMBER:	122:55898
TITLE:	Substituted pyridines and 2-oxo-1,2-dihydropyridines as angiotensin II antagonists, and their preparation
INVENTOR(S):	Fey, Peter; Dressel, Juergen; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich; Mueller-Gliemann, Matthias; Beuck, Martin; Bischoff, Hilmar; et al.
PATENT ASSIGNEE(S):	Bayer A.-G., Germany
SOURCE:	Eur. Pat. Appl., 44 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 623610	A1	19941109	EP 1994-106318	19940422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4314963	A1	19941110	DE 1993-4314963	19930506
US 5492923	A	19960220	US 1994-235831	19940429
JP 07330760	A2	19951219	JP 1994-115845	19940502
CA 2122789	AA	19941107	CA 1994-2122789	19940503
US 5712296	A	19980127	US 1995-549381	19951027
PRIORITY APPLN. INFO.:			DE 1993-4314963 A	19930506
			US 1994-235831 A3	19940429

OTHER SOURCE(S): MARPAT 122:55898
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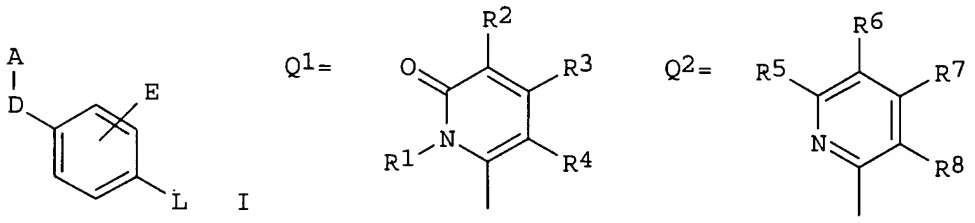

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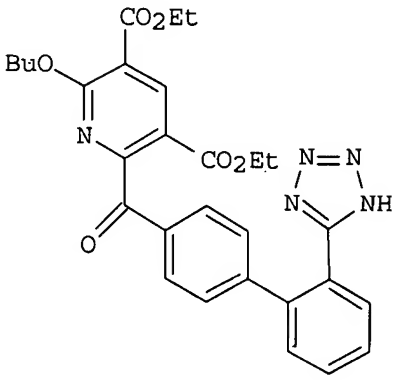

II

AB Title compds. I [A = pyridine group Q1 or Q2; R1 = (un)substituted alkyl, cycloalkyl; R2, R5, R6 = H, OH, NO2, cyano, CHO, halo, (un)substituted alk(en/yn)yl, alkoxy, or alkylthio, acyl, alkoxycarbonyl, tetrazolyl, etc.; R3, R7 = H, OH, CO2H, alkoxy, alkoxycarbonyl, (un)substituted amino; R4 = H, NO2, CO2H, alkoxycarbonyl, (un)substituted amino;. R8 = as given for R1 and R4; D = CO, CHT; T = H or alkyl; E = H, halo, cyano, NO2, CF3, OH, CF3O, amido, alkyl, alkoxy, alkoxycarbonyl; L = (un)substituted Ph typically bearing (un)substituted 5-tetrazolyl] were prepared as angiotensin II antagonists (no data), useful for treatment of a wide variety of conditions, especially arterial hypertension and atherosclerosis. For example, Pd(PPh3)4-catalyzed coupling of 2-[2-(triphenylmethyl)-2H-tetrazol-5-yl]phenylboronic acid with di-Et 6-butoxy-2-(4-bromophenylcarbonyl)pyridine-3,5-dicarboxylate (30.2% yield) and detritylation with HCl in MeOH (84.6% yield) gave title compound II. Prepns. of eleven addnl. tetrazole-containing I and 12 precursors are given.

L20 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:229659 HCAPLUS
DOCUMENT NUMBER: 122:30914
TITLE: Mechanistic Studies of the Suzuki Cross-Coupling Reaction
AUTHOR(S): Smith, George B.; Dezeny, George C.; Hughes, David L.; King, Anthony O.; Verhoeven, Thomas R.
CORPORATE SOURCE: Merck Research Laboratories, Merck Co., Rahway, NJ, 07065-0900, USA
SOURCE: Journal of Organic Chemistry (1994), 59(26), 8151-6
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The key step in the synthesis of the drug losartan is a palladium-catalyzed cross-coupling reaction of an aryl bromide and a boronic acid. The reaction scheme was defined in kinetic studies using HPLC, and computer simulation served to depict the time dependence of the concns. of palladium species, which were not observed exptl. Two catalyst poisons were identified and characterized. One was an isomeric impurity of the aryl bromide; the other was formed in the reaction mixture upon hydrolysis of the boronic acid and two of its impurities.

L20 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:139668 HCAPLUS
DOCUMENT NUMBER: 122:306
TITLE: Balanced angiotensin II receptor antagonists. III. The effects of substitution at the imidazole 5-position
AUTHOR(S): Santella, Joseph B., III; Duncia, John V.; Ensinger, Carol L.; VanAtten, Mary K.; Carini, David J.; Wexler, Ruth R.; Chiu, Andrew T.; Wong, Pancras C.; Timmermans, Pieter B. M. W. M.
CORPORATE SOURCE: Exptl. Stn., DuPont Merck Pharm. Co., Wilmington, DE, 19880-0402, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(18), 2235-40
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We wish to report on a series of substituted Me esters and amides of DMP 811, which bind to both the AT1 and AT2 receptor subtypes. Some of the esters bind well to both receptor subtypes in the subnanomolar range when the optimal acid isostere is present together with an ortho-fluorine substituent on the biphenylmethyl group.

L20 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:508806 HCAPLUS
DOCUMENT NUMBER: 121:108806
TITLE: Preparation of N-biphenylylmethyl-2-pyridone-4-carboxylates as angiotensin II antagonists
INVENTOR(S): Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich E.; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 594019	A1	19940427	EP 1993-116404	19931011
EP 594019	B1	20000223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4319041	A1	19940428	DE 1993-4319041	19930608
AU 9347541	A1	19940505	AU 1993-47541	19930922
AU 670315	B2	19960711		
NO 9303591	A	19940425	NO 1993-3591	19931007
AT 189893	E	20000315	AT 1993-116404	19931011
ES 2145021	T3	20000701	ES 1993-116404	19931011
PT 594019	T	20000831	PT 1993-116404	19931011
CA 2108814	AA	19940424	CA 1993-2108814	19931020
IL 107333	A1	19980104	IL 1993-107333	19931020
CZ 283482	B6	19980415	CZ 1993-2217	19931020
FI 9304646	A	19940424	FI 1993-4646	19931021
PL 176171	B1	19990430	PL 1993-300803	19931021
ZA 9307853	A	19940519	ZA 1993-7853	19931022
CN 1089260	A	19940713	CN 1993-118766	19931022
CN 1040435	B	19981028		
JP 06199838	A2	19940719	JP 1993-286167	19931022
HU 65819	A2	19940728	HU 1993-2997	19931022
RU 2118956	C1	19980920	RU 1993-48151	19931022
SK 279675	B6	19990211	SK 1993-1169	19931022
US 5596006	A	19970121	US 1995-368252	19950103
US 5863930	A	19990126	US 1995-574082	19951218
GR 3033207	T3	20000831	GR 2000-400901	20000412

PRIORITY APPLN. INFO.:

DE 1992-4235933	A	19921023
DE 1993-4319041	A	19930608
DE 1992-4235943	A	19921023
US 1993-137661	B1	19931015
US 1995-368252	A3	19950103

OTHER SOURCE(S): MARPAT 121:108806
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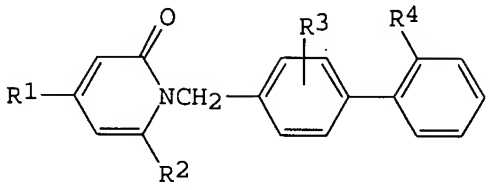

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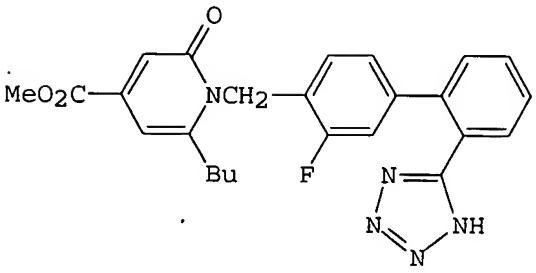

II

AB Title compds. (I; R1 = CO2H or alkoxycarbonyl; R2 = alkyl; R3 = halo, OH, cyano, alkyl, alkoxy, etc.; R4 = CO2H, tetrazolyl) were prepared as angiotensin II antagonists (no data). Thus, 2-(MeO)C6H4CO2H was amidated by H2NCMe2CH2OH and the cyclized product coupled with 3,4-FMeC6H3Br to give, after hydrolysis, 3,4-FMeC6H3C6H4(CN)-2 which was converted in 3 steps to 3,4-FMeC6H3C6H4R4-2 (R4 = triphenylmethyltetrazol-5-yl). The latter was condensed with 6-butyl-4-methoxycarbonyl-2-oxo-1,2-dihydropyridine to give, after deprotection, title compound II.

L20 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:457516 HCAPLUS
DOCUMENT NUMBER: 121:57516
TITLE: Preparation of N-biphenylylmethyl-6-alkoxymethyl-2-pyridone-4-carboxylates as angiotensin II antagonists
INVENTOR(S): Fey, Peter; Dressel, Juergen; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich E.; Mueller-Gliemann, Matthsias; Beuck, Martin; Kazada, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 594022	A1	19940427	EP 1993-116416	19931011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4319040	A1	19940428	DE 1993-4319040	19930608
AU 9348646	A1	19940505	AU 1993-48646	19930927
AU 666222	B2	19960201		
NO 9303592	A	19940425	NO 1993-3592	19931007
CA 2108815	AA	19940424	CA 1993-2108815	19931020
JP 06192253	A2	19940712	JP 1993-284116	19931020
FI 9304647	A	19940424	FI 1993-4647	19931021
HU 65224	A2	19940502	HU 1993-3003	19931022

ZA 9307854	A	19940519	ZA 1993-7854		19931022
CN 1092068	A	19940914	CN 1993-118887		19931022
RU 2118956	C1	19980920	RU 1993-48151		19931022
PRIORITY APPLN. INFO.:			DE 1992-4235943	A	19921023
			DE 1993-4319040	A	19930608
			DE 1992-4235933	A	19921023
			DE 1993-4319041	A	19930608

OTHER SOURCE(S): MARPAT 121:57516
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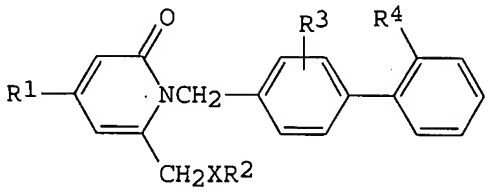

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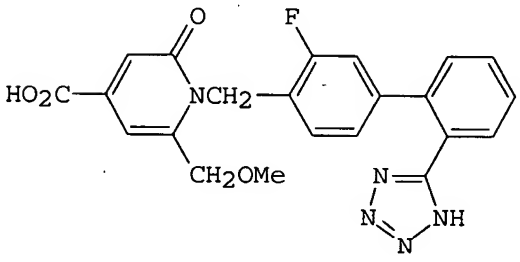

II

AB Title compds. [I; R1 = CO2H or alkoxycarbonyl; R2 = (phenyl)alkyl; R3 = H, halo, OH, alkyl, alkoxy, CF3, OCF3; R4 = CO2H, tetrazolyl; X = O or S] were prepared as angiotensin II antagonists (no data). Thus, MeOCH2COMe underwent Claisen condensation with (CO2Me)2 and the product cyclocondensed with NCCH2CONH2 to give, in 2 addnl. steps, 4-methoxycarbonyl-6-methoxymethyl-2-oxo-1,2-dihydropyridine which was N-alkylated with 2,4-FIC6H3CH2Br and the product condensed with 2-(tetrazol-5-yl)phenylboronic acid (preparation given) to give title compound II.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	82.57	774.19
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.50	-16.50

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